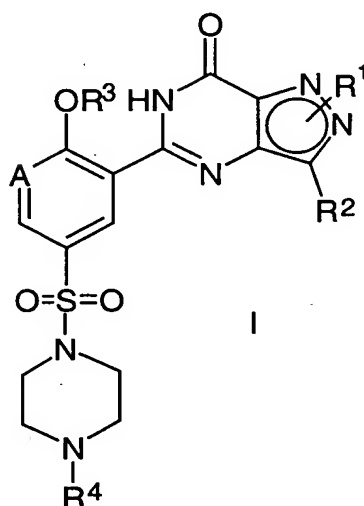


## Claims

1. A process for the production of a compound of general formula I:



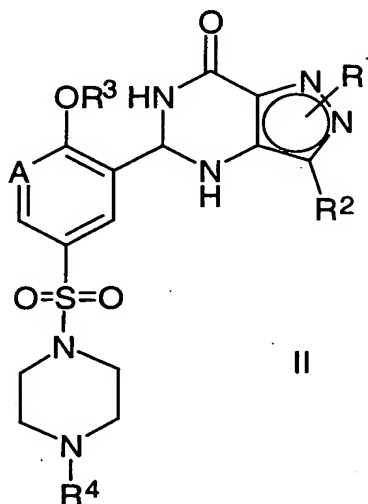
5

wherein

A represents CH or N;

- 10 R<sup>1</sup> represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl, OR<sup>5</sup>, C(O)R<sup>6</sup>, C(O)OR<sup>7</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, NR<sup>10a</sup>R<sup>10b</sup> and SO<sub>2</sub>NR<sup>11a</sup>R<sup>11b</sup>;
- 15 R<sup>2</sup> and R<sup>4</sup> independently represent lower alkyl;  
 R<sup>3</sup> represents lower alkyl, which alkyl group is optionally interrupted by oxygen;  
 Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;
- 20 R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>11a</sup> and R<sup>11b</sup> independently represent H or lower alkyl;  
 R<sup>10a</sup> and R<sup>10b</sup> either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidinyl or piperidinyl,

which process comprises the dehydrogenation of a compound of general formula II,



wherein A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above.

5

2. A process as claimed in Claim 1, wherein, in the compound of general formula I, R<sup>1</sup> represents C<sub>1-4</sub> alkyl, which alkyl group is optionally interrupted by an oxygen atom, and/or is optionally terminated by a Het group.

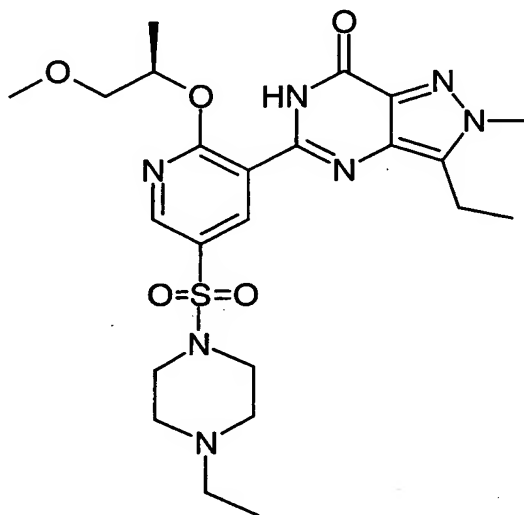
10 3. A process as claimed in Claim 2, wherein R<sup>1</sup> represents linear C<sub>1-3</sub> alkyl, which alkyl group is optionally interrupted by an oxygen atom, or is optionally terminated by a 2-pyridinyl group.

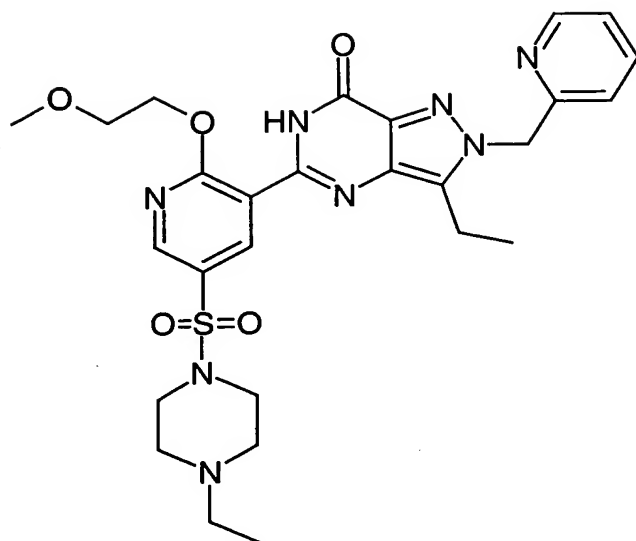
15 4. A process as claimed in any one of the preceding claims, wherein, in the compound of general formula I, R<sup>2</sup> represents C<sub>1-4</sub> alkyl.

5. A process as claimed in Claim 4, wherein R<sup>2</sup> represents linear C<sub>2-3</sub> alkyl.

20 6. A process as claimed in any one of the preceding claims, wherein, in the compound of general formula I, R<sup>3</sup> represents C<sub>1-5</sub> alkyl, which alkyl group is optionally interrupted by an oxygen atom.

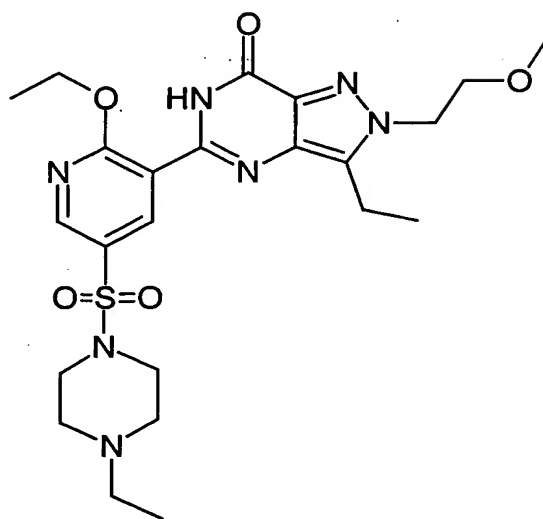
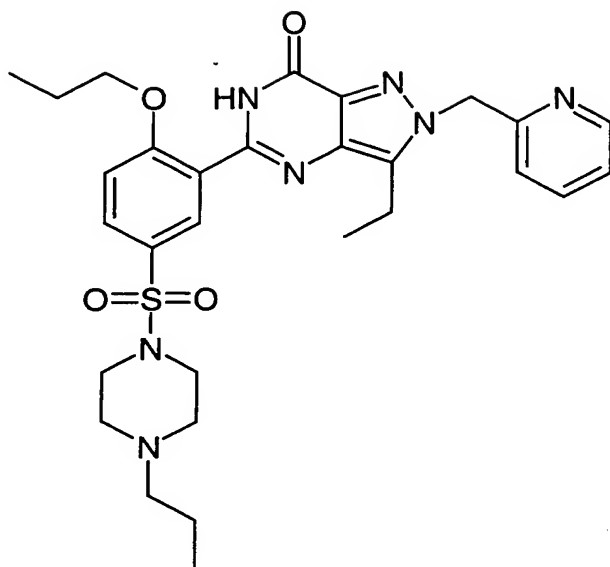
7. A process as claimed in Claim 6, wherein  $R^3$  represents linear or branched  $C_{2-4}$  alkyl, which alkyl group is optionally interrupted by an oxygen atom.
8. A process as claimed in any one of the preceding claims, wherein, in the  
5 compound of general formula I,  $R^4$  represents  $C_{1-3}$  alkyl.
9. A process as claimed in Claim 8, wherein  $R^4$  represents  $C_{1-2}$  alkyl.
10. A process as claimed in any one of the preceding claims, wherein the  
10 compound is selected from sildenafil, or any one of the following four compounds





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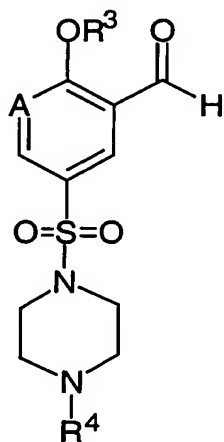


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11. A process as claimed in any one of the preceding claims, wherein the reaction is carried out in the presence of a dehydrogenation agent selected from: palladium on carbon; palladium on carbon in the presence of a hydrogen acceptor and/or an acid; a high oxidation potential quinone; oxygen; MnO<sub>2</sub>; or triphenylmethanol in trifluoroacetic acid.

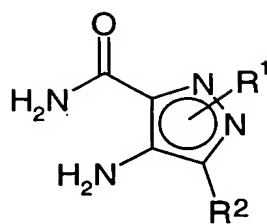
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12. A process as claimed in Claim 11, wherein the palladium on carbon is 5% Pd/C or 10% Pd/C.
13. A process as claimed in Claim 11 or Claim 12, wherein the hydrogen  
5 acceptor is cyclohexene or maleic acid.
14. A process as claimed in any one of Claims 11 to 13, wherein the acid is trifluoroacetic acid, HCl or H<sub>2</sub>SO<sub>4</sub>.
- 10 15. A process as claimed in any one of the preceding claims, wherein the reaction is carried out in the presence of an aromatic hydrocarbon as solvent.
16. A process as claimed in Claim 15, wherein the solvent is toluene or xylene.
- 15 17. A process as claimed in any one of the preceding claims, wherein the reaction is carried out at between 125 and 250°C, at a pressure of between 13.8 and 68.9 kPa (2 and 10 psi), and/or, optionally, in an inert atmosphere.
- 20 18. A process as claimed in any one of the preceding claims, wherein the compound of general formula II is prepared by reaction of a compound of formula III,



III

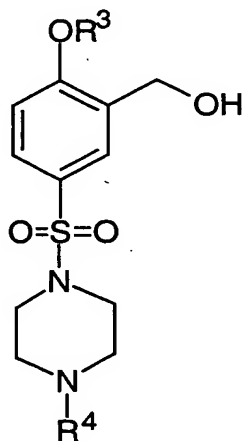
wherein A, R<sup>3</sup> and R<sup>4</sup> are as defined in any one of Claims 1 and 6 to 10 (as appropriate), with a compound of general formula IV,



IV

wherein  $R^1$  and  $R^2$  are as defined in any one of Claims 1 to 5 and 10.

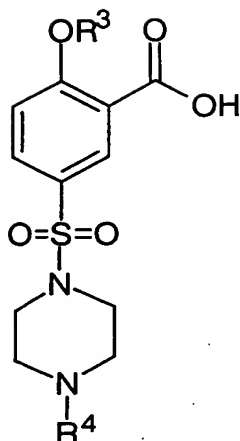
19. A process as claimed in Claim 18, wherein the compound of general formula I is formed in a "one pot" procedure, in which a compound of formula III is reacted with a compound of general formula IV, after which the dehydrogenation reaction is performed directly on the intermediate compound of general formula II, formed *in situ*.
20. A process as claimed in Claim 18 or Claim 19, wherein, in the compound of formula III, A represents  $CH_3$ , and that compound is prepared by oxidation of a compound of formula VI,



VI

- wherein  $R^3$  and  $R^4$  are as defined in any one of Claims 1 and 6 to 10 (as appropriate).

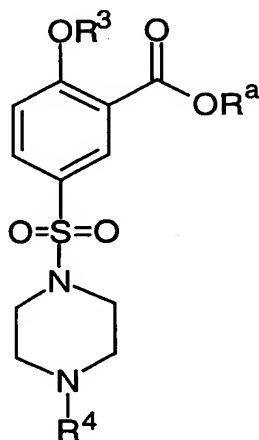
21. A process as claimed in Claim 20, wherein the compound of formula VI is prepared by reduction of a corresponding carboxylic acid of formula VII,



VII

wherein R<sup>3</sup> and R<sup>4</sup> are as defined in any one of Claims 1 and 6 to 10 (as appropriate).

- 5 22. A process as claimed in Claim 20, wherein the compound of formula VI is prepared by esterification of a compound of formula VII as defined in Claim 21 to form a compound of formula VIIIA,

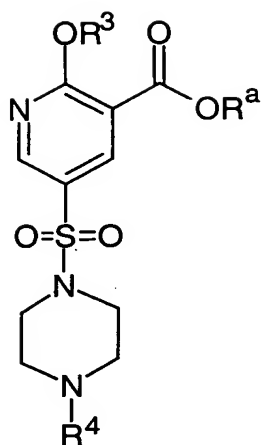


VIIIA

- 10 wherein R<sup>a</sup> represents lower alkyl and R<sup>3</sup> and R<sup>4</sup> are as defined in any one of Claims 1 and 6 to 10 (as appropriate), followed by reduction of the ester of formula VIIIA.

- 15 23. A process as claimed in Claim 18 or Claim 19, wherein, in the compound of formula III, A represents N, and that compound is prepared by reduction of a corresponding compound of formula VIIIB,

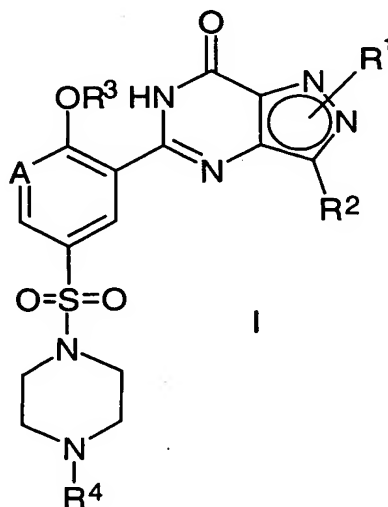




VIII B

wherein R<sup>a</sup> is as defined in Claim 22, and R<sup>3</sup> and R<sup>4</sup> are as defined in any one of Claims 1 and 6 to 10 (as appropriate).

24. A compound of general formula II as defined in Claim 1.
25. A compound of formula III, as defined in Claim 18.
26. A compound of general formula VI, as defined in Claim 20.
27. A compound of formula VIIIA, as defined in Claim 22.
28. A process for the production of compounds of general formula I:



I

wherein

A represents CH or N;

- 5  $R^1$  represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl,  $OR^5$ ,  $C(O)R^6$ ,  $C(O)OR^7$ ,  $C(O)NR^8R^9$ ,  $NR^{10a}R^{10b}$  and  $SO_2NR^{11a}R^{11b}$ ;

- 10  $R^2$  and  $R^4$  independently represent lower alkyl;

$R^3$  represents lower alkyl, which alkyl group is optionally interrupted by oxygen;

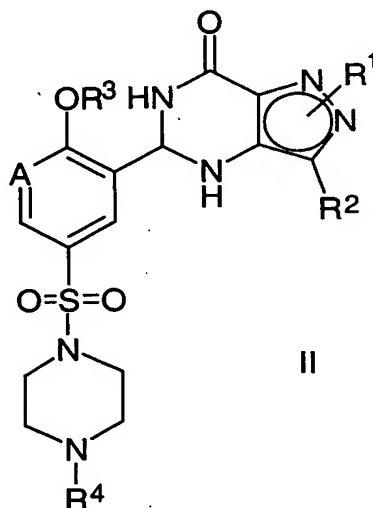
Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;

- 15  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{11a}$  and  $R^{11b}$  independently represent H or lower alkyl;

$R^{10a}$  and  $R^{10b}$  either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidinyl or piperidinyl;

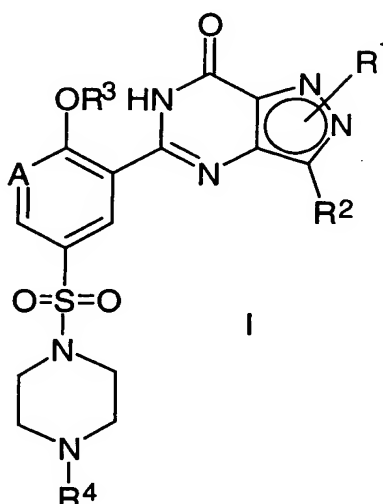
with the proviso that the compound of formula I is not sildenafil;

- 20 which process comprises the dehydrogenation of a compound of general formula II,



wherein A,  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined above.

29. A process for the production of compounds of general formula I:



5

wherein

A represents CH;

10  $R^1$  represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl,  $OR^5$ ,  $C(O)R^6$ ,  $C(O)OR^7$ ,  $C(O)NR^8R^9$ ,  $NR^{10a}R^{10b}$  and  $SO_2NR^{11a}R^{11b}$ ;

$R^2$  and  $R^4$  independently represent lower alkyl;

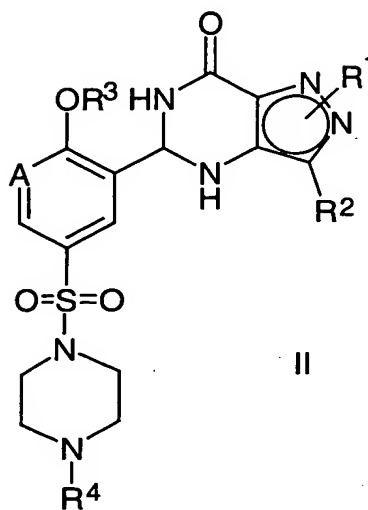
15  $R^3$  represents lower alkyl, which alkyl group is optionally interrupted by oxygen; Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;

$R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{11a}$  and  $R^{11b}$  independently represent H or lower alkyl;

20  $R^{10a}$  and  $R^{10b}$  either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidinyl or piperidinyl;

with the proviso that the compound of formula I is not sildenafil;

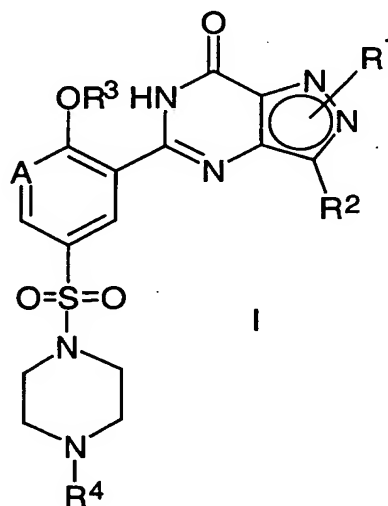
which process comprises the dehydrogenation of a compound of general formula II,



wherein A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above.

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30. A process for the production of compounds of general formula I:

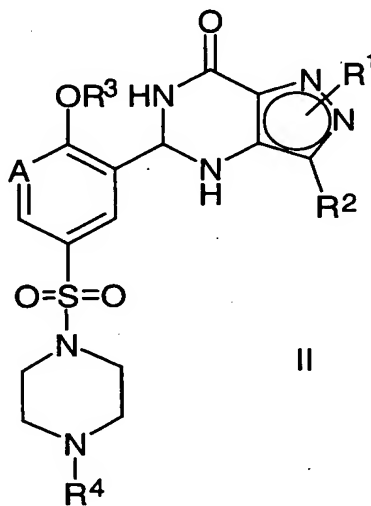


10

wherein

A represents N;

- $R^1$  represents H, lower alkyl (which alkyl group is optionally interrupted by O), Het, alkylHet, aryl or alkylaryl, which latter five groups are all optionally substituted (and/or, in the case of lower alkyl, optionally terminated) by one or more substituents selected from halo, cyano, nitro, lower alkyl,  $OR^5$ ,  $C(O)R^6$ ,  $C(O)OR^7$ ,  $C(O)NR^8R^9$ ,  $NR^{10a}R^{10b}$  and  $SO_2NR^{11a}R^{11b}$ ;
- $R^2$  and  $R^4$  independently represent lower alkyl;
- $R^3$  represents lower alkyl, which alkyl group is optionally interrupted by oxygen;
- Het represents an optionally substituted four- to twelve-membered heterocyclic group, which group contains one or more heteroatoms selected from nitrogen, oxygen and sulfur;
- $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{11a}$  and  $R^{11b}$  independently represent H or lower alkyl;
- $R^{10a}$  and  $R^{10b}$  either independently represent, H or lower alkyl or, together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny,
- which process comprises the dehydrogenation of a compound of general formula II,



wherein A,  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined above.